

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.
10736,084	12/15/2003	Joseph C. Welsh	2003P88074US
		EXAMINER	
		Krishnan, Ganapathy	
		ART UNIT	PAGE NUMBER
		1623	

Response To OFFICIAL ACTION

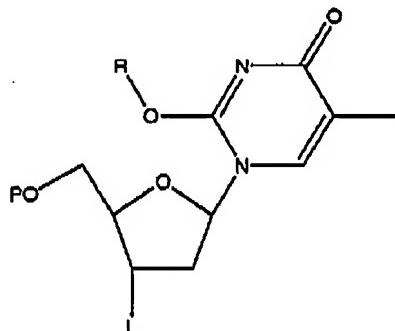
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AMENDMENTS TO THE CLAIMS

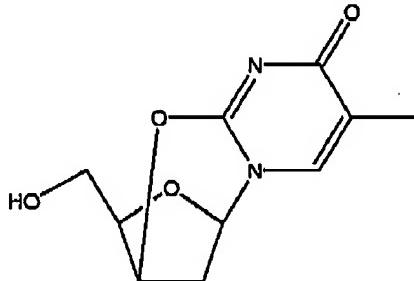
In the Claims, please make the following amendments:

1. (Previously presented) A method for preparing a compound having the following formula:

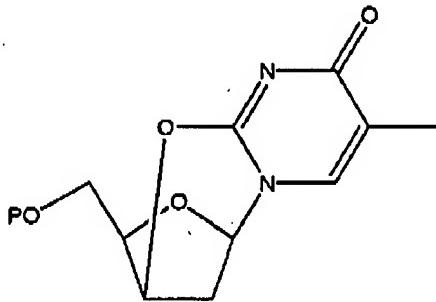


wherein R is an alkoxy blocking group; P is a hydroxyl protecting group; and L is a leaving group, the method comprising the steps of:

- a. reacting a compound of the formula:



with a hydroxyl protecting group to produce a compound having the following formula:



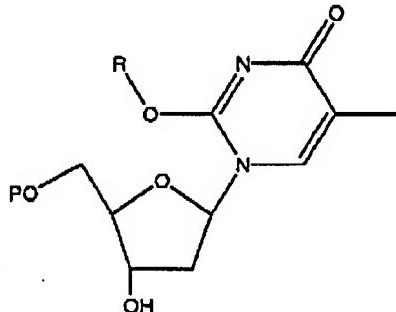
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wherein P is the same as defined above;

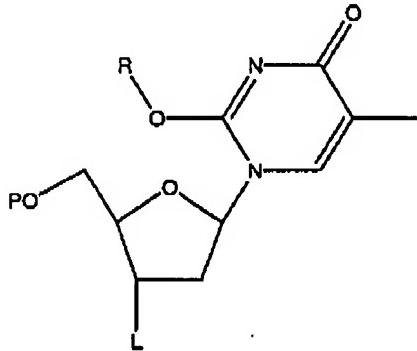
- b. enolating the reaction product of step (a) produce a compound having the following formula:



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wherein P and R are the same as defined above; and

- c. incorporating a leaving group to produce a compound having the following formula:



2. (Original) The method according to Claim 1, wherein P is selected from the group consisting of methoxymethyl ether, methylthiomethyl ether, 2-methoxyethoxymethyl ether, 1-ethoxyethyl ether, 1-methyl-1-methoxyethyl ether, t-butyl ether, allyl ether, benzyl ether, 4-nitrobenzyl ether, o-nitrobenzyl ether, trityl ether, monomethoxytrityl ether, dimethoxytrityl ether, tritylone ether, tetrahydropyran ether, tetrahydrothiopyranyl ether, 4-methoxy tetrahydropyran ether, 4-methoxytetrahydrothiopyranyl ether, tetrahydrofuran ether, tetrahydrotrifuranyl ether, isobutyrate ester, pivaloate ester, adamantoate ester, benzoate ester, 2,4,6,-trimethylbenzoate ester, methyl carbonate,

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allyl carbonate, benzyl carbonate, p-nitrobenzyl carbonate, t-Bu carbonate, S-benzylthio carbonate, *N*-phenyl carbamate, and nitrate ester.

3. (Original) The method according to Claim 1, wherein P is selected from the group consisting of dimethoxytrityl, monomethoxytrityl, trityl, t-butyloxycarbonyl, t-butyldimethylsilyl, t-butyldiphenylsilyl, tetrahydropyranyl ether, tetrahydrofuranyl ether, ethoxyethyl ether, and 1-methyl-1-methoxyethyl ether.

4. (Original) The method according to Claim 1, wherein R is alkyl C₁-C₄, *i*-propyl, benzyl, cycloalkane C₃-C₆, phenyl, tosyl, acetate, or benzoate.

5. (Original) The method according to Claim 1, wherein R is methyl, ethyl, *i*-propyl, benzyl, or cycloalkane C₃-C₆.

6. (Original) The method according to Claim 1, wherein step (b) includes treating the reaction product of step (a) with an alkoxide having 1 to 4 carbons, cycloalkoxide C₃-C₆, phenoxyde, tosylate, acetate, or benzoate.

7. (Original) The method according to Claim 6, wherein the alkoxide is sodium methoxide.

8. (Original) The method according to Claim 1, wherein L is a sulfonate ester.

9. (Original) The method according to Claim 1, wherein L is selected from the group consisting of mesylate, nosylate, tosylate, and triflate.

10. (Previously presented) A method for preparing a precursor for the preparation of a radiolabeled nucleoside comprising:

- a. converting a 2-deoxy nucleoside into a 2,3'-anhydronucleoside;
- b. reacting the 2,3'-anhydronucleoside with a hydroxyl protecting group to produce a 2,3'-anhydronucleoside derivative wherein the 5'-O group is protected;

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- c. reacting the protected 2,3'-anhydronucleoside derivative with a reagent that opens the 2,3'-anhydro-ring and enolates the 2-position on the pyrimidine ring; and
- d. incorporating a leaving group to produce the radiolabeled nucleoside precursor;
where the nucleoside base is thymidine or uridine.

11. (Previously presented) The method according to Claim 10, wherein the nucleoside is thymidine or uridine.

12. (Original) A method for preparing a precursor for the preparation of 3'-Deoxy-3'-[¹⁸F]-fluoro-thymidine (¹⁸F-FLT) comprising:

- a. converting thymidine into 2,3'-anhydrothymidine;
- b. reacting the 2,3'-anhydro thymidine with a hydroxyl protecting group to produce a 2,3'-anhydrothymidine derivative wherein the 5'-O group is protected;
- c. reacting the protected 2,3'-anhydrothymidine derivative with a reagent that opens the 2,3'-anhydro-ring and enolates the 2-position on the pyrimidine ring; and
- d. incorporating a leaving group to produce the ¹⁸F-FLT precursor.

13. (Original) The method according to Claim 12, wherein step (c) produces an enol having an -O-R group attached to the 2-carbon.

14. (Previously presented) A method according to Claim 13, wherein R is alkyl C₁-C₄, benzyl, cycloalkane C₃-C₆, phenyl, tosyl, acetate, or benzoate.

15. (Original) A method according to Claim 12, wherein step (c) includes treating the reaction product of step (b) with an alkoxide.

16. (Previously presented) A method according to Claim 15, wherein the alkoxide is selected from the group consisting of sodium methoxide, and sodium ethoxide.

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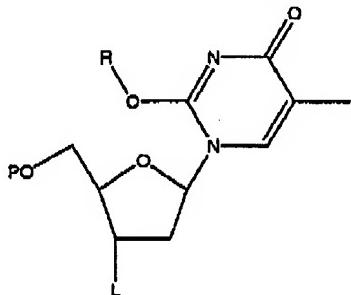
17. (Original) A method according to Claim 12, wherein the hydroxyl protecting group is dimethoxytrityl, monomethoxytrityl, trityl, t-butyloxycarbonyl, t-butyldimethylsilyl, t-butyldiphenylsilyl, tetrahydropyranyl ether, tetrahydrofuryl ether, ethoxyethyl ether, or 1-methyl-1-methoxyethyl ether.

18. (Original) A method according to Claim 12, wherein the hydroxyl protecting group is dimethoxytrityl, monomethoxytrityl, or trityl.

19. (Original) A method according to Claim 12 wherein the leaving group is a sulfonate ester.

20. (Original) A method according to Claim 19, wherein the leaving group is mesylate, tosylate, nosylate, or triflate.

21. (Previously presented) A compound having the following formula:



wherein R is alkyl C₁-C₄, benzyl, cycloalkane C₃-C₆, phenyl, tosyl, acetate, or benzoate; P is a hydroxyl protecting group; and L is a leaving group.

22. (Original) A compound according to Claim 21, wherein R is methyl or ethyl.

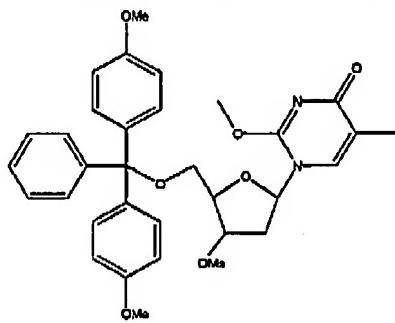
23. (Original) A compound according to Claim 21, wherein P is methoxymethyl ether, methylthiomethyl ether, 2-methoxyethoxymethyl ether, 1-ethoxyethyl ether, 1-methyl-1-methoxyethyl ether, t-butyl ether, allyl ether, benzyl ether, 4-nitrobenzyl ether, o-nitrobenzyl ether, trityl ether, monomethoxytrityl ether, dimethoxytrityl ether, tritylone ether; tetrahydropyran ether, tetrahydrothiopyranyl ether, 4-methoxy tetrahydropyran ether, 4-methoxytetrahydrothiopyranyl ether, tetrahydrofuran ether, tetrahydrotrifuranyl

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ether, isobutyrate ester, pivaloate ester, adamantoate ester, benzoate ester, 2,4,6,-trimethylbenzoate ester; methyl carbonate, allyl carbonate, benzyl carbonate, p-nitrobenzyl carbonate, t-Bu carbonate, S-benzylthio carbonate, N-phenyl carbamate, or nitrate ester.

24. (Original) A compound according to Claim 21, wherein P is dimethoxytrityl, monomethoxytrityl, trityl, t-butyloxycarbonyl, t-butyldimethylsilyl, t-butyldiphenylsilyl, tetrahydropyranyl ether, tetrahydrofuryl ether, ethoxyethyl ether, or 1-methyl-1-methoxyethyl ether.
25. (Original) A compound according to Claim 21, wherein P is dimethoxytrityl.
26. (Original) A compound according to Claim 21, wherein L is a sulfonate ester.
27. (Original) A compound according to Claim 21, wherein L is selected from the group consisting of p-(2,4-dinitroanilino)benzenesulfonyl, benzenesulfonyl, methylsulfonyl (mesylate), p-methylbenzenesulfonyl (tosylate), 4-nitrobenzene sulfonyl (nosylate), p-bromobenzenesulfonyl, trifluoromethylsulfonyl (triflate), trichloroacetimidate, acyloxy, 2,2,2-trifluoroethanesulfonyl, imidazolesulfonyl, and 2,4,6-trichlorophenyl.
28. (Original) A compound according to Claim 21, wherein R is methyl, P is dimethoxy trityl, and L is mesylate, tosylate, or nosylate.
29. (Original) A compound having the following formula:



wherein Ms is methylsulfonyl.

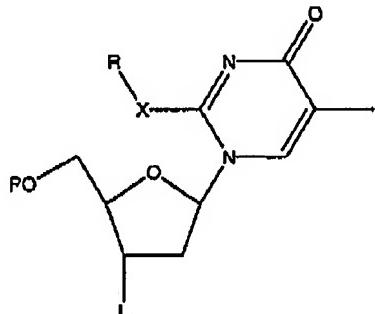
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30. (Previously presented)

A compound having the following formula:



wherein R is alkyl C₁-C₄, benzyl, cycloalkane C₃-C₆, phenyl, tosyl, acetate, or benzoate; P is a hydroxyl protecting group; X is oxygen, sulfur, or nitrogen, and L is a leaving group.

31. (Original) A compound according to Claim 30, wherein L is halogen, p-(2,4-dinitroanilino)benzenesulfonyl, benzenesulfonyl, methylsulfonyl (mesylate), p-methylbenzenesulfonyl (tosylate), 4-nitrobenzene sulfonyl (nosylate), p-bromobenzenesulfonyl, trifluoromethylsulfonyl (triflate), trichloroacetimidate, acyloxy, 2,2,2-trifluoroethanesulfonyl, imidazolesulfonyl, or 2,4,6-trichlorophenyl.

32. (Original) A compound according to Claim 30, wherein P is dimethoxytrityl, monomethoxytrityl, trityl, t-butyloxycarbonyl, t-butyldimethylsilyl, t-butyldiphenylsilyl, tetrahydropyranyl ether, tetrahydrofuryl ether, ethoxyethyl ether, or 1-methyl-1-methoxyethyl ether.

33-34. (Canceled)